Connecting via Winsock to STN

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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JAN 02	STN pricing information for 2008 now available
NEWS 3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 5	JAN 28	MARPAT searching enhanced
NEWS 6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS 7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 8	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS 9	FEB 08	STN Express, Version 8.3, now available
NEWS 10	FEB 20	PCI now available as a replacement to DPCI
NEWS 11	FEB 25	IFIREF reloaded with enhancements
NEWS 12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS 13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS 14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS 15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS 16	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS 17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS 18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS 20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS 22	APR 28	IMSRESEARCH reloaded with enhancements
NEWS 23	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS 24	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:54:10 ON 04 JUN 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:54:45 ON 04 JUN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 JUN 2008 HIGHEST RN 1025148-33-7
DICTIONARY FILE UPDATES: 3 JUN 2008 HIGHEST RN 1025148-33-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

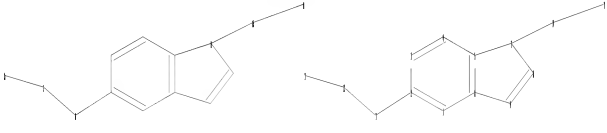
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10566094a.str



chain nodes :
10 11 12 13 14
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
2-11 7-14 10-14 11-12 12-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
2-11 5-7 6-9 7-8 7-14 8-9 10-14 11-12

```
exact bonds :
12-13
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:CLASS
11:CLASS  12:CLASS  13:Atom  14:CLASS



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
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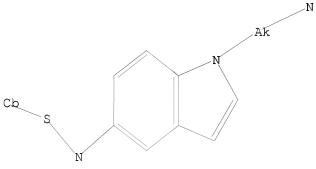


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Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 14:55:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     1091 TO ITERATE

100.0% PROCESSED     1091 ITERATIONS                                 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        19839 TO    23801
PROJECTED ANSWERS:               2 TO      124

L2            2 SEA SSS SAM L1

=> d scan

L2   2 ANSWERS   REGISTRY  COPYRIGHT 2008 ACS on STN
IN   Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]-
     4-phenoxy-
MF   C25 H27 N3 O3 S
```
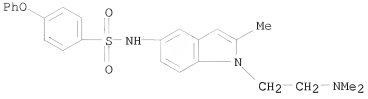
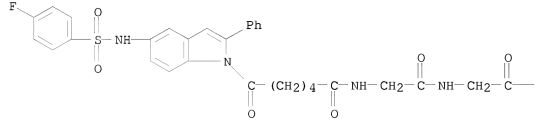



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Glycinamide, N-[6-[5-[[(4-fluorophenyl)sulfonyl]amino]-2-phenyl-1H-indol-1-yl]-1,6-dioxohexyl]glycyl-
MF C30 H30 F N5 O6 S

PAGE 1-A



PAGE 1-B

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s l1 sss full
FULL SEARCH INITIATED 14:56:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 21961 TO ITERATE

100.0% PROCESSED 21961 ITERATIONS 36 ANSWERS
SEARCH TIME: 00.00.01

L3 36 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	179.28	179.49

FILE 'CAPLUS' ENTERED AT 14:56:38 ON 04 JUN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 4 Jun 2008 VOL 148 ISS 23
FILE LAST UPDATED: 3 Jun 2008 (20080603/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s l3
L4 6 L3

=> d ibib abs hitstr 6

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:725572 CAPLUS
DOCUMENT NUMBER: 142:211383
TITLE: Medicinal Chemistry Driven Approaches Toward Novel and Selective Serotonin 5-HT6 Receptor Ligands
AUTHOR(S): Holenz, Joerg; Merce, Ramon; Diaz, Jose Luis; Guitart, Xavier; Codony, Xavier; Dordal, Alberto; Romero, Gonzalo; Torrens, Antoni; Mas, Josep; Andaluz, Blas; Hernandez, Susana; Monroy, Xavier; Sanchez, Elisabeth; Hernandez, Enrique; Perez, Raquel; Cubi, Roger; Sanfeliu, Olga; Buschmann, Helmut
CORPORATE SOURCE: Departments of Medicinal Chemistry, Discovery Biology and Discovery Chemistry, Laboratorios Dr. Esteve S.A., Barcelona, 08041, Spain
SOURCE: Journal of Medicinal Chemistry (2005), 48(6), 1781-1795
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:211383
AB Based on a medicinal chemical guided hypothetical pharmacophore model, novel series of indolyl sulfonamides have been designed and prepared as selective and high-affinity serotonin 5-HT6 receptor ligands. Furthermore, based on a screening approach of a discovery library, a series of benzoxazinepiperidinyl sulfonamides were identified as selective 5-HT6 ligands. Many of the compds. described in this paper possess excellent affinities, displaying pKi values greater than 8 (some even >9) and high selectivities against a wide range (>50) of other CNS relevant receptors.

First, structure-affinity relationships of these ligands are discussed. In terms of functionality, high-affinity antagonists, as well as agonists and even partial agonists, were prepared Compds. 19c and 19g represent the highest-affinity 5-HT6 agonists ever reported in the literature. These valuable tool compds. should allow for the detailed study of the role of the 5-HT6 receptor in relevant animal models of disorders such as cognition deficits, depression, anxiety, or obesity.

IT 753020-75-6P 753020-76-7P 753020-79-0P
753020-80-3P 753020-82-5P 753020-83-6P
753020-84-7P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(medicinal chemical driven approaches toward novel and selective serotonin 5-HT6 receptor ligands)

RN 753020-75-6 CAPLUS
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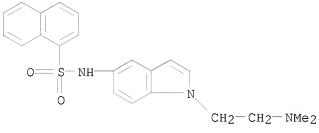
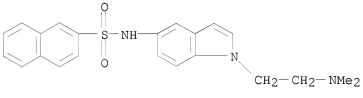
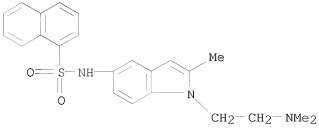


RN 753020-76-7 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



RN 753020-79-0 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]- (CA INDEX NAME)



RN 753020-80-3 CAPLUS
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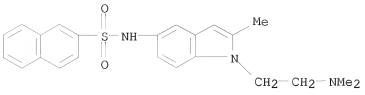
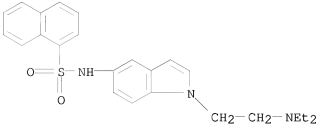
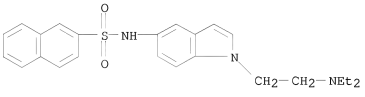
5-yl]- (CA INDEX NAME)



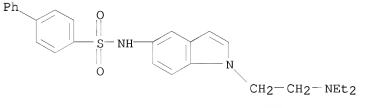
RN 753020-82-5 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-
(CA INDEX NAME)



RN 753020-83-6 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-
(CA INDEX NAME)



RN 753020-84-7 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-
(CA INDEX NAME)



REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 1-5

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:197836 CAPLUS

DOCUMENT NUMBER: 146:252104
TITLE: Preparation of substituted indoles and their use as PAI-1 inhibitors
INVENTOR(S): Hu, Baihua; Jetter, James W.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 54pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

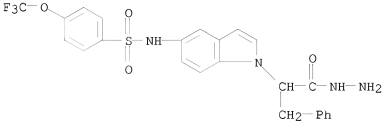
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007022321	A2	20070222	WO 2006-US32066	20060816
WO 2007022321	A3	20070510		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006279496	A1	20070222	AU 2006-279496	20060816
CA 2617372	A1	20070222	CA 2006-2617372	20060816
US 20070043101	A1	20070222	US 2006-505527	20060816
EP 1919866	A2	20080514	EP 2006-801683	20060816
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: US 2005-708834P P 20050817
WO 2006-US32066 W 20060816
OTHER SOURCE(S): MARPAT 146:252104
GI

I

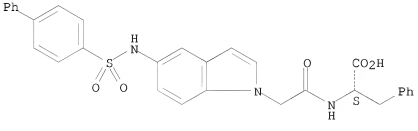
AB The invention relates to indole derivs. I [R is p-R2C6H4(CH2)1-4, where R2 is alkyl, and R1 is a sulfonylamino or ureido group; or R is R3C6H4(CH2)0-4CHR4, where R3 is H, a carboxyalkoxy, carbamoyl, or carbonyl-amino acid group and R4 is H, CO2H, or CONHNH2 and R1 is a sulfonylamino group; or R is R5CO(CH2)1-4, where R5 is OH, alkoxy, or an amino acid residue and R1 is a sulfonylamino group] for use as PAI-1 inhibitors. Thus, N-[[[1-(4-tert-butylbenzyl)-1H-indol-5-yl]amino]carbonyl]-L-phenylalanine was prepared by treating 1-(4-tert-butylbenzyl)-1H-indol-5-amine (preparation given) with 2-isocyanato-3-phenylpropionic acid Et ester.

IT 926024-84-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted indoles and their use as PAI-1 inhibitors)
RN 926024-84-2 CAPLUS
CN 1H-Indole-1-acetic acid, α-(phenylmethyl)-5-[[[4-(trifluoromethoxy)phenyl]sulfonyl]amino]-, hydrazide (CA INDEX NAME)



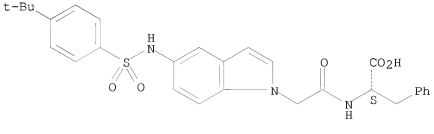
IT 926024-62-6P 926024-64-8P 926024-66-0P
926024-68-2P 926024-70-6P 926024-74-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted indoles and their use as PAI-1 inhibitors)
RN 926024-62-6 CAPLUS
CN L-Phenylalanine, N-[2-[5-[([1,1'-biphenyl]-4-ylsulfonyl)amino]-1H-indol-1-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 926024-64-8 CAPLUS
CN L-Phenylalanine, N-[2-[5-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-1H-indol-1-yl]acetyl]- (CA INDEX NAME)

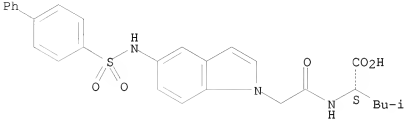
Absolute stereochemistry.



RN 926024-66-0 CAPLUS

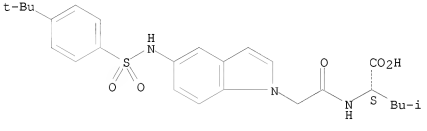
CN L-Leucine, N-[2-[5-[([1,1'-biphenyl]-4-ylsulfonyl)amino]-1H-indol-1-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.



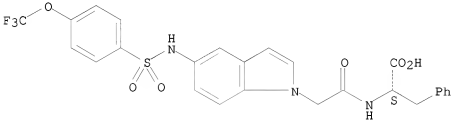
RN 926024-68-2 CAPLUS
CN L-Leucine, N-[2-[5-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-1H-indol-1-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.



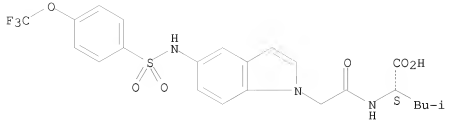
RN 926024-70-6 CAPLUS
CN L-Phenylalanine, N-[2-[5-[[[4-(trifluoromethoxy)phenyl]sulfonyl]amino]-1H-indol-1-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 926024-74-0 CAPLUS
CN L-Leucine, N-[2-[5-[[[4-(trifluoromethoxy)phenyl]sulfonyl]amino]-1H-indol-1-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.



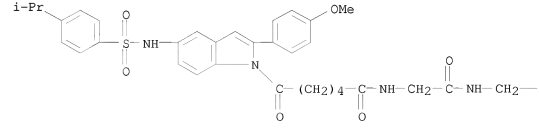
L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1244611 CAPLUS
DOCUMENT NUMBER: 146:142447
TITLE: An engineered linker capable of promoting on-resin reactions for microwave-assisted solid-phase organic synthesis
AUTHOR(S): Sun, Li-Ping; Dai, Wei-Min
CORPORATE SOURCE: Department of Chemistry, The Hong Kong University of Science and Technology, Clear Water Bay, Kowloon, Hong Kong
SOURCE: Angewandte Chemie, International Edition (2006), 45(43), 7255-7258
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:142447

AB A diglycine-containing linker was fabricated on Rink amide resin for dual functions: a) attachment of a scaffold and b) capture of metal ions for promoting on-resin reactions. The metal-catching feature of the linker proves essential for the solid-phase synthesis of indoles through microwave-assisted CuII-mediated heteroannulation.

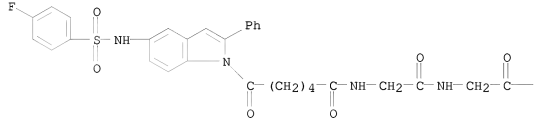
IT 919490-32-7P 919490-34-9P 919490-36-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(microwave-assisted copper-mediated solid-phase synthesis of (arylsulfonylamino)indoles using diglycine-containing linker capable of catching metal ions and promoting on-resin reactions)

RN 919490-32-7 CAPLUS
CN Glycinamide, N-[6-[2-(4-methoxyphenyl)-5-[[[4-(1-methylethyl)phenyl]sulfonyl]amino]-1H-indol-1-yl]-1,6-dioxohexyl]glycyl- (CA INDEX NAME)

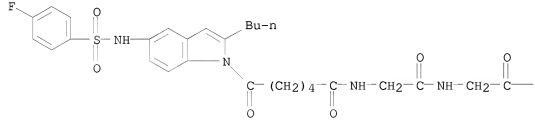
PAGE 1-A



RN 919490-34-9 CAPLUS
CN Glycinamide, N-[6-[5-[[(4-fluorophenyl)sulfonyl]amino]-2-phenyl-1H-indol-1-yl]-1,6-dioxohexyl]glycyl- (CA INDEX NAME)



RN 919490-36-1 CAPLUS
CN Glycinamide, N-[6-[2-butyl-5-[[(4-fluorophenyl)sulfonyl]amino]-1H-indol-1-yl]-1,6-dioxohexyl]glycyl- (CA INDEX NAME)

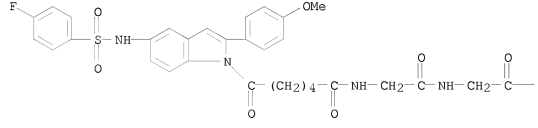


—NH_2

IT 919490-25-8P 919490-27-0P 919490-28-1P
919490-30-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(microwave-assisted copper-mediated solid-phase synthesis of (arylsulfonylamino)indoles using diglycine-containing linker capable of catching metal ions and promoting on-resin reactions)

RN 919490-25-8 CAPLUS

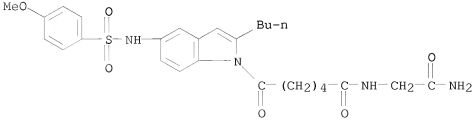
CN Glycinamide, N-[6-[5-[[(4-fluorophenyl)sulfonyl]amino]-2-(4-methoxyphenyl)-1H-indol-1-yl]-1,6-dioxohexyl]glycyl- (CA INDEX NAME)



—NH_2

RN 919490-27-0 CAPLUS

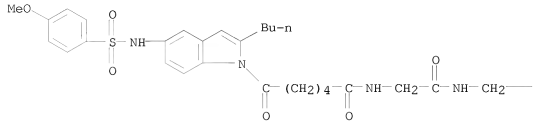
CN 1H-Indole-1-hexanamide, N-(2-amino-2-oxoethyl)-2-butyl-5-[[(4-methoxyphenyl)sulfonyl]amino]-ε-oxo- (CA INDEX NAME)



RN 919490-28-1 CAPLUS

CN Glycinamide, N-[6-[2-butyl-5-[[(4-methoxyphenyl)sulfonyl]amino]-1H-indol-1-yl]-1,6-dioxohexyl]glycyl- (CA INDEX NAME)

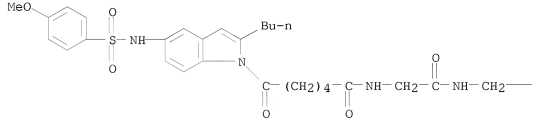
PAGE 1-A



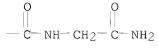
PAGE 1-B

RN 919490-30-5 CAPLUS
CN Glycinamide, N-[6-[2-butyl-5-[[(4-methoxyphenyl)sulfonyl]amino]-1H-indol-1-yl]-1,6-dioxohexyl]glycylglycyl- (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:136598 CAPLUS
DOCUMENT NUMBER: 142:240323
TITLE: Active substance combination comprising a compound

with NPY receptor affinity and a compound with 5-HT6 receptor affinity

INVENTOR(S): Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola Constansa, Jordi; Buschmann, Helmut-Heinrich

PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain

SOURCE: PCT Int. Appl., 427 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014045	A1	20050217	WO 2004-EP8514	20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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ES 2228268	B1	20060701		
AU 2004262488	A1	20050217	AU 2004-262488	20040729
CA 2534099	A1	20050217	CA 2004-2534099	20040729
EP 1660131	A1	20060531	EP 2004-741321	20040729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
MX 2006PA01230	A	20060515	MX 2006-PA1230	20060130
US 20070009597	A1	20070111	US 2006-566402	20060705

PRIORITY APPLN. INFO.: ES 2003-1815 A 20030730
WO 2004-EP8514 W 20040729

OTHER SOURCE(S): CASREACT 142:240323; MARPAT 142:240323

GI

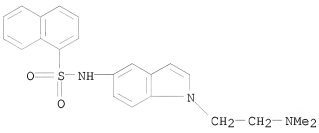
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated cycloalkyl; R6-R9 = H, alkyl, (un)saturated cycloalkyl, etc.;
A = CHR18, CHR18CH2; B = alkyl, (un)saturated cycloalkyl, etc.; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.] with neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5, (un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in

examples. E.g., a multi-step synthesis of III.HCl, starting from 1-(tert-butoxycarbonyl)-4-piperidinone and Me anthranilate, was given. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

IT 753020-75-6P 753020-76-7P 753020-79-0P
753020-80-3P 753020-82-5P 753020-83-6P
753020-84-7P 844831-85-2P 844831-86-3P
844831-88-5P 844831-89-6P 844831-92-1P
844831-93-2P 844831-94-3P 844832-00-4P
844832-01-5P 844832-02-6P 844832-04-8P
844832-05-9P 844832-07-1P 844832-09-3P
844832-10-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and 5-HT6 receptor affinity)

RN 753020-75-6 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



RN 753020-76-7 CAPLUS
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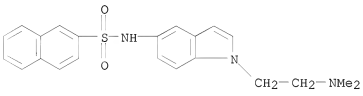
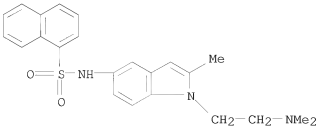
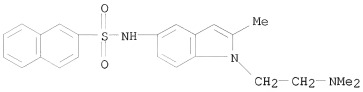


RN 753020-79-0 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]- (CA INDEX NAME)



RN 753020-80-3 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]- (CA INDEX NAME)



RN 753020-82-5 CAPLUS
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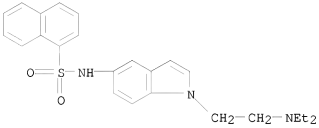
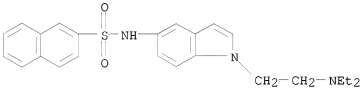
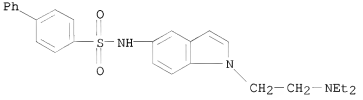


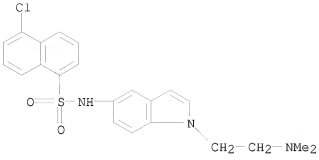
RN 753020-83-6 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



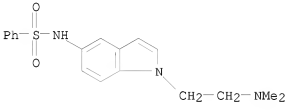
RN 753020-84-7 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



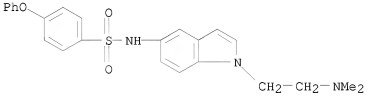
RN 844831-85-2 CAPLUS
CN 1-Naphthalenesulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



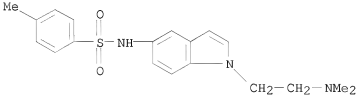
RN 844831-86-3 CAPLUS
CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



RN 844831-88-5 CAPLUS
CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-4-phenoxy- (CA INDEX NAME)



RN 844831-89-6 CAPLUS
CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-4-methyl- (CA INDEX NAME)



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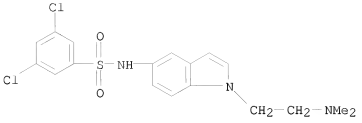
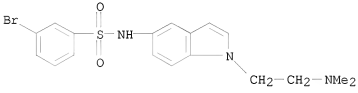


RN 844831-93-2 CAPLUS
CN Benzenesulfonamide, 3-bromo-N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-
(CA INDEX NAME)



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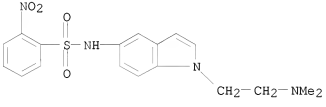
(CA INDEX NAME)



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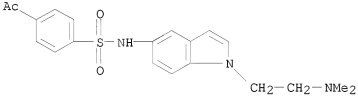
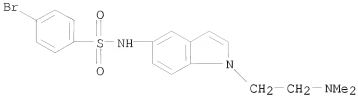
(CA INDEX NAME)



RN 844832-01-5 CAPLUS
CN Benzenesulfonamide, 4-bromo-N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-
(CA INDEX NAME)



RN 844832-02-6 CAPLUS
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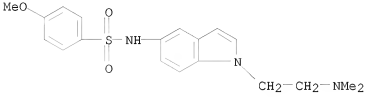

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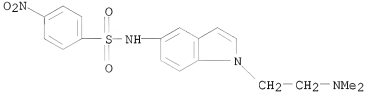
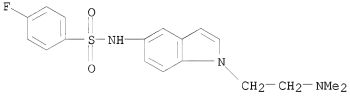
(CA INDEX NAME)



RN 844832-05-9 CAPLUS
CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-4-fluoro-
(CA INDEX NAME)



RN 844832-07-1 CAPLUS
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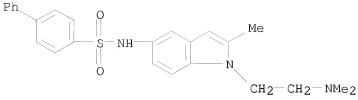

RN 844832-09-3 CAPLUS
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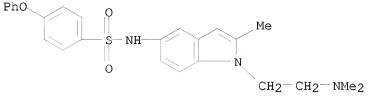

RN 844832-10-6 CAPLUS
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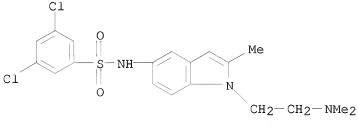

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:136568 CAPLUS
DOCUMENT NUMBER: 142:240322
TITLE: Active substance combination comprising a compound with NPY receptor affinity and a compound with 5-HT6 receptor affinity
INVENTOR(S): Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola Constansa, Jordi; Buschmann, Helmut-Heinrich
PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain
SOURCE: PCT Int. Appl., 451 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005014000 A1 20050217 WO 2004-EP8515 20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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ES 2228267 B1 20060701
AU 2004262489 A1 20050217 AU 2004-262489 20040729
CA 2534100 A1 20050217 CA 2004-2534100 20040729
EP 1648468 A1 20060426 EP 2004-763612 20040729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
MX 2006PA01232 A 20060515 MX 2006-PA1232 20060130
US 20070059364 A1 20070315 US 2006-566100 20061026
PRIORITY APPLN. INFO.: ES 2003-1814 A 20030730
WO 2004-EP8515 W 20040729
OTHER SOURCE(S): MARPAT 142:240322
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated (hetero)cycloalkyl; R6-R9 = H, alkyl, (un)saturated (hetero)cycloalkyl, etc.; A = CHR18, CHR18CH2; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.] with neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5, (un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. Thus, reacting 6-chloro-1-(4-piperidinyl)-1,4-dihydro-2H-3,1-benzoxazinone hydrochloride with 2-(2-chloroacetamide)-2',5-dichlorobenzophenone in the presence of K2CO3 in DMF followed by treating of the free base with HCl/EtOH afforded 61% III.HCl. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

IT 753020-75-6P 753020-76-7P 753020-79-0P
753020-80-3P 753020-82-5P 753020-83-6P
753020-84-7P 844831-85-2P 844831-86-3P
844831-88-5P 844831-89-6P 844831-92-1P
844831-93-2P 844831-94-3P 844832-00-4P
844832-01-5P 844832-02-6P 844832-04-8P
844832-05-9P 844832-07-1P 844832-09-3P
844832-10-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and 5-HT6 receptor affinity)

RN 753020-75-6 CAPLUS
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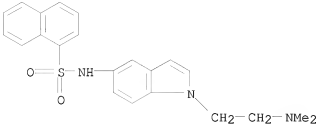

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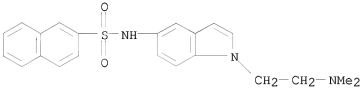

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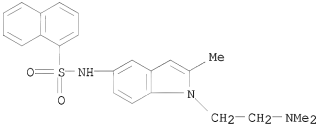
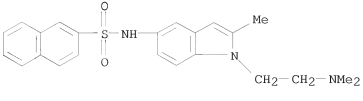
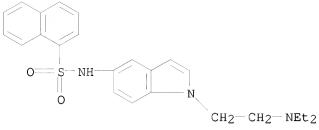


RN 753020-80-3 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]- (CA INDEX NAME)

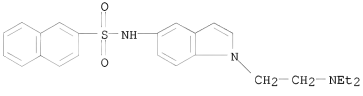


RN 753020-82-5 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-

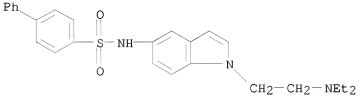
(CA INDEX NAME)



RN 753020-83-6 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-
(CA INDEX NAME)



RN 753020-84-7 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-
(CA INDEX NAME)



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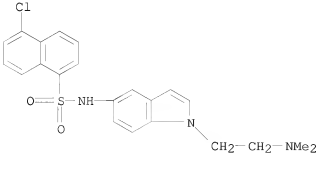

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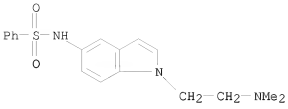
INDEX NAME)



RN 844831-88-5 CAPLUS
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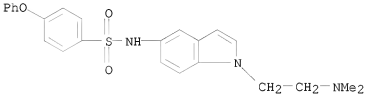

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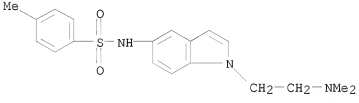

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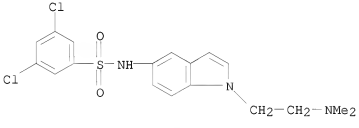

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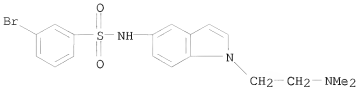
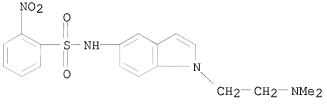
CN Benzenesulfonamide, 3-bromo-N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



RN 844831-94-3 CAPLUS
CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-2-nitro-
(CA INDEX NAME)



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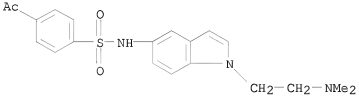
(CA INDEX NAME)



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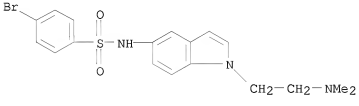
(CA INDEX NAME)



RN 844832-02-6 CAPLUS
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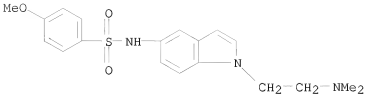
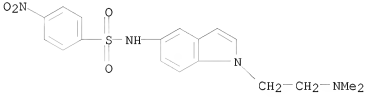
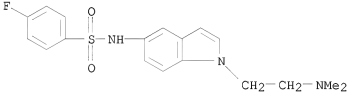
(CA INDEX NAME)



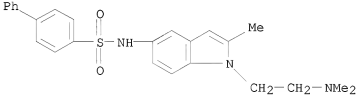
RN 844832-04-8 CAPLUS
CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-4-nitro- (CA INDEX NAME)



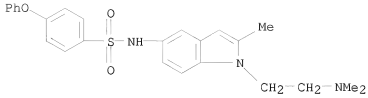
RN 844832-05-9 CAPLUS
CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-4-fluoro- (CA INDEX NAME)



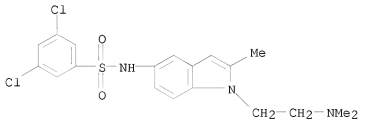
RN 844832-07-1 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]- (CA INDEX NAME)



RN 844832-09-3 CAPLUS
CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]-4-phenoxy- (CA INDEX NAME)



RN 844832-10-6 CAPLUS
CN Benzenesulfonamide, 3,5-dichloro-N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:136549 CAPLUS
DOCUMENT NUMBER: 142:240310
TITLE: Preparation of indol-5-yl sulfonamide derivatives and their use as 5-HT6 modulators
INVENTOR(S): Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zueras, Alberto
PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005013977	A1	20050217	WO 2004-EP8511	20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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CA 2533976	A1	20050217	CA 2004-2533976	20040729
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US 20070032520	A1	20070208	US 2006-566094		20061003
PRIORITY APPLN. INFO.:			ES 2003-1805	A	20030730
			WO 2004-EP8511	W	20040729

OTHER SOURCE(S): CASREACT 142:240310; MARPAT 142:240310

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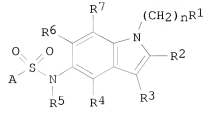

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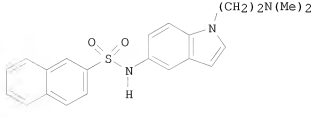

II

AB Title compds. I [R1 = NR8R9 radical or (un)saturated-(un)substituted cycloaliph. radical optionally containing at least one heteroatom; R2-4,6-7 independently = H, NO2, alkoxy, CN, etc.; R5 = H or (un)saturated alkyl optionally at least monosubstituted; R8 or R9 independently = H or (un)saturated alkyl optionally at least monosubstituted with provisions; or R8 and R9 together with the bridging N atom form a (un)saturated-(un)substituted heterocyclic ring; A = (un)substituted mono or polycyclic aromatic ring; n = 0-4] and their pharmaceutically acceptable salts are prepared and disclosed as 5-HT6 modulators. Thus, e.g., II, was prepared via reaction of naphthalene-2-sulfonyl chloride with 5-amino-1-(2-dimethylaminoethyl)-1H-indole. Selected data from 5-HT6 receptor binding studies revealed Ki values (nM) ranging from 1.89-112.4.

IT 753020-75-6P 753020-76-7P 753020-79-0P
753020-80-3P 753020-82-5P 753020-83-6P
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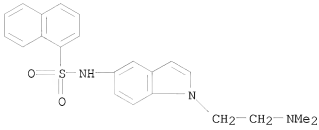
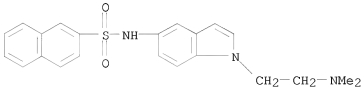
844832-01-5P 844832-02-6P 844832-04-8P
844832-05-9P 844832-07-1P 844832-09-3P
844832-10-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indol-5-ylsulfonamide derivs. as 5-HT6 receptor modulators)

RN 753020-75-6 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-
(CA INDEX NAME)



RN 753020-76-7 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-
(CA INDEX NAME)



RN 753020-79-0 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-
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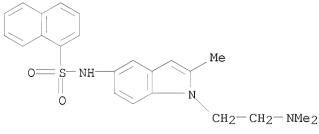

RN 753020-80-3 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-
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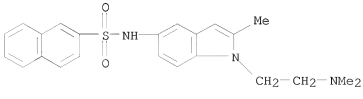
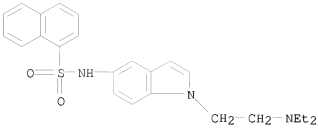
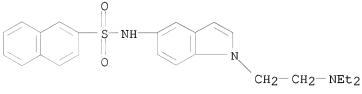


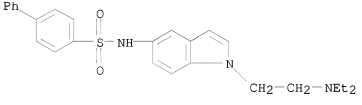
RN 753020-82-5 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-
(CA INDEX NAME)



RN 753020-83-6 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-
(CA INDEX NAME)



RN 753020-84-7 CAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-
(CA INDEX NAME)



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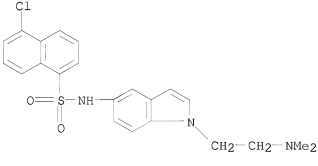

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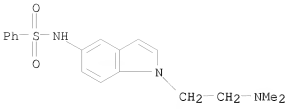
INDEX NAME)



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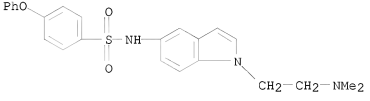

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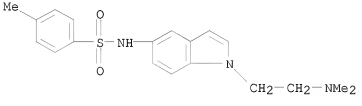

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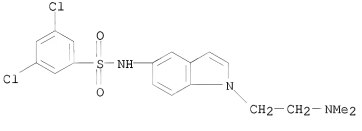

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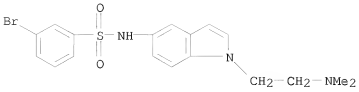

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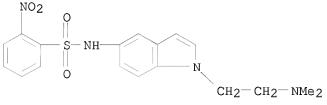

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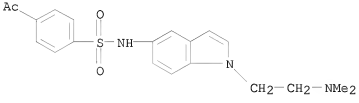
(CA INDEX NAME)



RN 844832-01-5 CAPLUS
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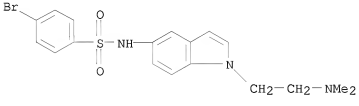
(CA INDEX NAME)



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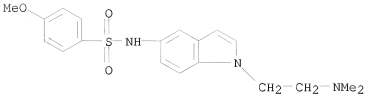

RN 844832-04-8 CAPLUS
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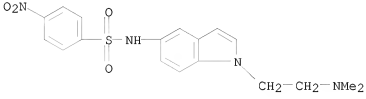

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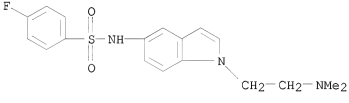

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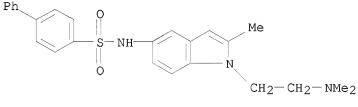

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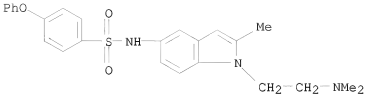

RN 844832-10-6 CAPLUS
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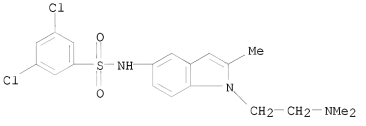

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	37.50	216.99
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.80	-4.80

FILE 'REGISTRY' ENTERED AT 15:02:21 ON 04 JUN 2008
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 JUN 2008 HIGHEST RN 1025148-33-7
DICTIONARY FILE UPDATES: 3 JUN 2008 HIGHEST RN 1025148-33-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> S 844831-94-3/RN

L5 1 844831-94-3/RN

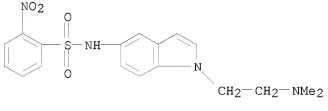
=> SET NOTICE 1 DISPLAY

NOTICE SET TO 1 U.S. DOLLAR FOR DISPLAY COMMAND
SET COMMAND COMPLETED

=> D L5 SQIDE 1-

YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y
THE ESTIMATED COST FOR THIS REQUEST IS 6.65 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L5 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
RN 844831-94-3 REGISTRY
CN Benzenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-2-nitro-
(CA INDEX NAME)
MF C18 H20 N4 O4 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES
(Uses)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> SET NOTICE LOGIN DISPLAY

NOTICE SET TO OFF FOR DISPLAY COMMAND
SET COMMAND COMPLETED

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=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.46	219.45
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-4.80

FILE 'REGISTRY' ENTERED AT 15:03:09 ON 04 JUN 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 3 JUN 2008 HIGHEST RN 1025148-33-7
DICTIONARY FILE UPDATES: 3 JUN 2008 HIGHEST RN 1025148-33-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> s l5
L6   1 844831-94-3/RN

=> file caplus
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)   SINCE FILE   TOTAL
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CA SUBSCRIBER PRICE   0.00   -4.80

FILE 'CAPLUS' ENTERED AT 15:03:26 ON 04 JUN 2008
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 4 Jun 2008 VOL 148 ISS 23
FILE LAST UPDATED: 3 Jun 2008 (20080603/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s l5
L7   3 L5

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=> d ibib abs l7 1-3

L7   ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2005:136598  CAPLUS
DOCUMENT NUMBER:          142:240323
TITLE:                    Active substance combination comprising a compound
                          with NPY receptor affinity and a compound with 5-HT6
                          receptor affinity
INVENTOR(S):              Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras,
                          Alberto; Codony Soler, Xavier; Merce Vidal, Ramon;
                          Aurelio Castrillo Perez, Jose; Frigola Constansa,
                          Jordi; Buschmann, Helmut-Heinrich
PATENT ASSIGNEE(S):       Laboratorios del Esteve S. A., Spain
SOURCE:                   PCT Int. Appl., 427 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     WO 2005014045        A1    20050217    WO 2004-EP8514         20040729
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             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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             SN, TD, TG
     ES 2228268           A1    20050401    ES 2003-1815           20030730
     ES 2228268           B1    20060701
     AU 2004262488        A1    20050217    AU 2004-262488         20040729
     CA 2534099           A1    20050217    CA 2004-2534099        20040729
     EP 1660131           A1    20060531    EP 2004-741321         20040729
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     MX 2006PA01230       A     20060515    MX 2006-PA1230         20060130
     US 20070009597       A1    20070111    US 2006-566402         20060705
PRIORITY APPLN. INFO.:                      ES 2003-1815        A  20030730
                                            WO 2004-EP8514      W  20040729
OTHER SOURCE(S):          CASREACT 142:240323; MARPAT 142:240323
GI


* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

AB   The present invention relates to an active substance combination
     comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H,
     alkyl, (un)saturated cycloalkyl; R6-R9 = H, alkyl, (un)saturated cycloalkyl,
etc.;
     A = CHR18, CHR18CH2; B = alkyl, (un)saturated cycloalkyl, etc.; R10 = H,
     alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl,
     etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated
     cycloalkyl, etc.] with neuropeptide Y-receptor affinity, preferably
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neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5, (un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. E.g., a multi-step synthesis of III.HCl, starting from 1-(tert-butoxycarbonyl)-4-piperidinone and Me anthranilate, was given. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:136568 CAPLUS

DOCUMENT NUMBER: 142:240322

TITLE: Active substance combination comprising a compound with NPY receptor affinity and a compound with 5-HT6 receptor affinity

INVENTOR(S): Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola Constansa, Jordi; Buschmann, Helmut-Heinrich

PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain

SOURCE: PCT Int. Appl., 451 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014000	A1	20050217	WO 2004-EP8515	20040729
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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ES 2228267	B1	20060701		
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CA 2534100	A1	20050217	CA 2004-2534100	20040729
EP 1648468	A1	20060426	EP 2004-763612	20040729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
MX 2006PA01232	A	20060515	MX 2006-PA1232	20060130
US 20070059364	A1	20070315	US 2006-566100	20061026

PRIORITY APPLN. INFO.: ES 2003-1814 A 20030730
WO 2004-EP8515 W 20040729

OTHER SOURCE(S): MARPAT 142:240322

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated (hetero)cycloalkyl; R6-R9 = H, alkyl, (un)saturated (hetero)cycloalkyl, etc.; A = CHR18, CHR18CH2; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.] with neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5, (un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. Thus, reacting 6-chloro-1-(4-piperidinyl)-1,4-dihydro-2H-3,1-benzoxazinone hydrochloride with 2-(2-chloroacetamide)-2',5-dichlorobenzophenone in the presence of K2CO3 in DMF followed by treating of the free base with HCl/EtOH afforded 61% III.HCl. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:136549 CAPLUS
DOCUMENT NUMBER: 142:240310
TITLE: Preparation of indol-5-yl sulfonamide derivatives and their use as 5-HT6 modulators
INVENTOR(S): Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zueras, Alberto
PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005013977	A1	20050217	WO 2004-EP8511	20040729
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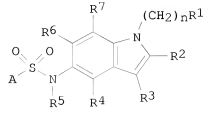
ES 2222827	B1	20060301		
AU 2004262485	A1	20050217	AU 2004-262485	20040729
CA 2533976	A1	20050217	CA 2004-2533976	20040729
EP 1648445	A1	20060426	EP 2004-763610	20040729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				

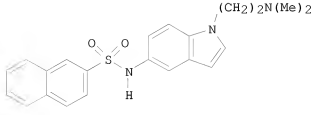
CN 1832740	A	20060913	CN 2004-80022472			20040729
BR 2004013110	A	20061003	BR 2004-13110			20040729
JP 2007500165	T	20070111	JP 2006-521529			20040729
MX 2006PA01159	A	20060424	MX 2006-PA1159			20060127
NO 2006000865	A	20060222	NO 2006-865			20060222
US 20070032520	A1	20070208	US 2006-566094			20061003
PRIORITY APPLN. INFO.:			ES 2003-1805		A	20030730
			WO 2004-EP8511		W	20040729

OTHER SOURCE(S): CASREACT 142:240310; MARPAT 142:240310

GI



I



II

AB Title compds. I [R1 = NR8R9 radical or (un)saturated-(un)substituted cycloaliph. radical optionally containing at least one heteroatom; R2-4,6-7 independently = H, NO2, alkoxy, CN, etc.; R5 = H or (un)saturated alkyl optionally at least monosubstituted; R8 or R9 independently = H or (un)saturated alkyl optionally at least monosubstituted with provisions; or R8 and R9 together with the bridging N atom form a (un)saturated-(un)substituted heterocyclic ring; A = (un)substituted mono or polycyclic aromatic ring; n = 0-4] and their pharmaceutically acceptable salts are prepared and disclosed as 5-HT6 modulators. Thus, e.g., II, was prepared via reaction of naphthalene-2-sulfonyl chloride with 5-amino-1-(2-dimethylaminoethyl)-1H-indole. Selected data from 5-HT6 receptor binding studies revealed Ki values (nM) ranging from 1.89-112.4.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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LOGOFF? (Y)/N/HOLD:y

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